

=> d his

(FILE 'HOME' ENTERED AT 15:22:31 ON 26 MAR 2007)

FILE 'REGISTRY' ENTERED AT 15:22:43 ON 26 MAR 2007

L1 STRUCTURE UPLOADED

L2 1 S L1

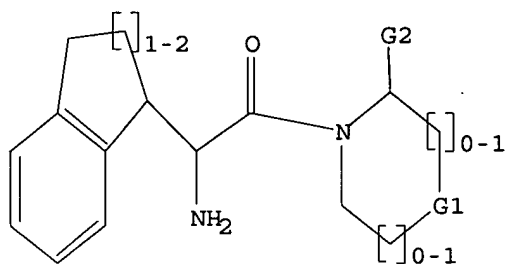
L3 45 S L1 FULL

FILE 'CAPLUS' ENTERED AT 15:23:36 ON 26 MAR 2007

L4 6 S L3

=> d que l4 stat

L1 STR



G1 C,S

G2 H,CN

Structure attributes must be viewed using STN Express query preparation.

L3 45 SEA FILE=REGISTRY SSS FUL L1

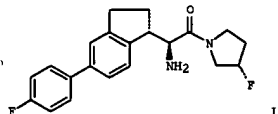
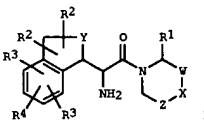
L4 6 SEA FILE=CAPLUS ABB=ON PLU=ON L3

=> d 1-6 bib abs hitstr

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2005:426411 CAPLUS
 UN 142:464013
 TI Preparation of fused phenylalanine derivatives as dipeptidyl peptidase-IV inhibitors for the treatment or prevention of diabetes
 IN Ashton, Wallace T.; Dong, Hong; Xu, Jinyou
 PA Merck & Co., Inc., USA
 SO FCT Int. Appl., 60 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005044195	A2	20050519	WO 2004-US36252	20041029
WO 2005044195	A3	20051215		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: EW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004286857	A1	20050519	AU 2004-286857	20041029
CA 2541212	A1	20050519	CA 2004-2541212	20041029
EP 1682120	A2	20060726	EP 2004-810181	20041029
CN 1870990	A	20061129	CN 2004-80031602	20041029
US 2006281727	A1	20061214	US 2006-573108	20060323
PRAI US 2003-517287P	P	20031104		
WO 2004-US36252	W	20041029		
OS MARPAT 142:464013				
GI				

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

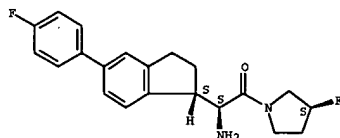


AB The invention relates to fused phenylalanine derivs. I [X = CH2, S, SO, SO2, CHF or CF2; W, Z = null, CH2, CHF or CF2; Y = CH2 or CH2CH2; R1 = H or cyano; R2, R3 = H, halo, alkyl, alkoxy, CF3, CF3O or OH; R4 = H, halo, (un)substituted aryl, heteroaryl or heterocyclyl] or their pharmaceutically-acceptable salts which are inhibitors of the dipeptidyl peptidase-IV (DP-IV) enzyme and are useful in the treatment or prevention of diseases such as diabetes. Thus, II.HCl was prepared by a multistep procedure involving reaction of 1,5-dibromindan (preparation given) with [2-[(3aS,6R,7aR)-(8,8-dimethyl-2,2-dioxidotetrahydro-3a,6-methano-2,1-benzisothiazol-1(4H)-yl)]-2-oxoethyl] (diphenylmethylene)amine.

IT 851760-20-8P 851760-21-9P 851760-22-0P
 851760-23-1P 851760-24-2P 851760-25-3P
 851760-26-4P 851760-27-5P 851760-28-6P
 851760-29-7P 851760-30-0P 851760-31-1P
 851760-32-2P 851760-33-3P 851760-34-4P
 851760-35-5P 851760-36-6P 851760-37-7P
 851760-38-8P 851760-39-9P 851760-40-2P
 851760-41-3P 851760-42-4P 851760-43-5P
 851760-44-6P 851760-45-7P 851760-46-8P
 851760-47-9P 851760-48-0P 851760-49-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of fused phenylalanine derivs. as dipeptidyl peptidase-IV inhibitors for treatment diabetes)
 RN 851760-20-8 CAPLUS
 CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-(4-fluorophenyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

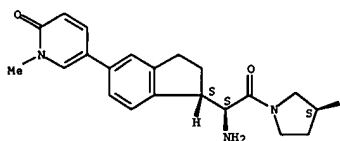
L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

RN 851760-21-9 CAPLUS
 CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-(1,6-dihydro-1-methyl-6-oxo-3-pyridinyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

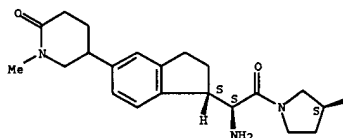


● HCl

RN 851760-22-0 CAPLUS
 CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-(1-methyl-6-oxo-3-piperidinyl)-1H-inden-1-yl]acetyl]-3-fluoro-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

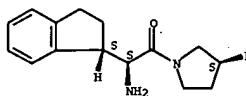
L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

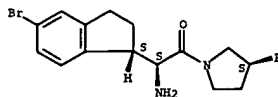
RN 851760-23-1 CAPLUS
 CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-24-2 CAPLUS
 CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-bromo-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

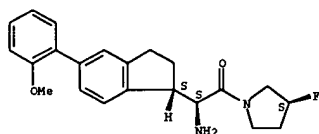
Absolute stereochemistry.



RN 851760-25-3 CAPLUS
 CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-(2-methoxyphenyl)-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

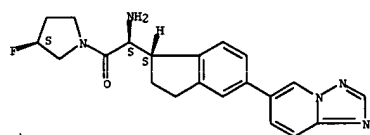
Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



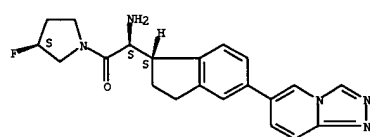
RN 851760-26-4 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-[(1,2,4-triazolo[1,5-a]pyridin-6-yl)-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-27-5 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-[(1,2,4-triazolo[4,3-a]pyridin-6-yl)-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

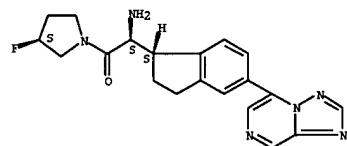
Absolute stereochemistry.



RN 851760-28-6 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-(3-cyclopropyl-1,2,4-triazolo[1,5-a]pyridin-5-yl)-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

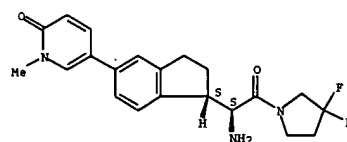
Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



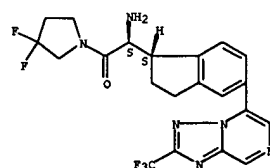
RN 851760-32-2 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-(1,6-dihydro-1-methyl-6-oxo-3-pyridinyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-33-3 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-[[2-(trifluoromethyl)-[1,2,4]triazolo[1,5-a]pyrazin-5-yl]-1H-inden-1-yl]acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

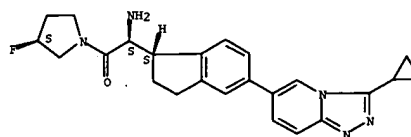
Absolute stereochemistry.



RN 851760-34-4 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-[[1,2,4]triazolo[1,5-a]pyrazin-5-yl]-1H-inden-1-yl]acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

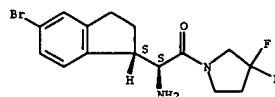
Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



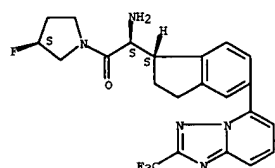
RN 851760-29-7 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-bromo-2,3-dihydro-1H-inden-1-yl]acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-30-0 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-[[2-(trifluoromethyl)-[1,2,4]triazolo[1,5-a]pyridin-5-yl]-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

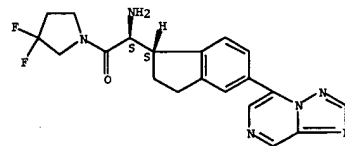
Absolute stereochemistry.



RN 851760-31-1 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-[[1,2,4]triazolo[1,5-a]pyrazin-5-yl]-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

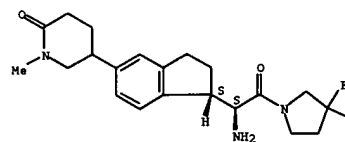
Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



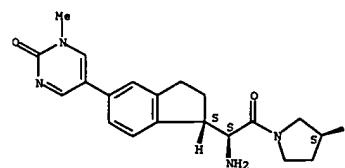
RN 851760-35-5 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-((1-methyl-6-oxo-3-piperidinyl)-1H-inden-1-yl)acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-36-6 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-(1,2-dihydro-1-methyl-2-oxo-5-pyrimidinyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

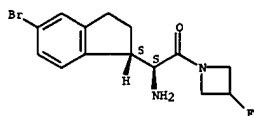
Absolute stereochemistry.



RN 851760-37-7 CAPLUS
CN Azetidine, 1-[(2S)-amino[(1S)-5-bromo-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

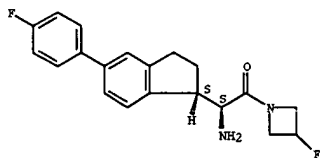
Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



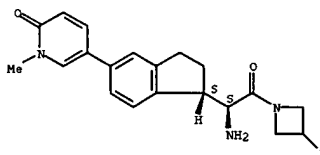
RN 851760-38-8 CAPLUS
CN Azetidine, 1-[(2S)-amino(1S)-5-(4-fluorophenyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-39-9 CAPLUS
CN Azetidine, 1-[(2S)-amino(1S)-5-(1,6-dihydro-1-methyl-6-oxo-3-pyridinyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

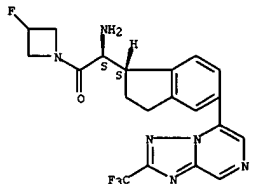


RN 851760-40-2 CAPLUS
CN Azetidine, 1-[(2S)-amino(1S)-2,3-dihydro-5-(1,2,4-triazolo[4,3-a]pyridin-6-yl)-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

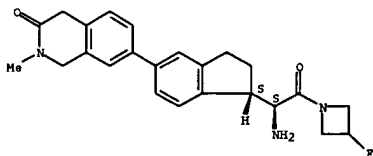
L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



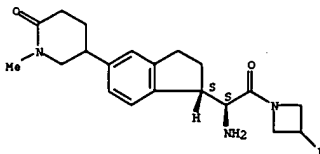
RN 851760-44-6 CAPLUS
CN Azetidine, 1-[(2S)-amino(1S)-2,3-dihydro-5-(1,2,3,4-tetrahydro-2-methyl-3-oxo-7-isoquinolinyl)-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



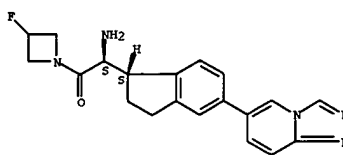
RN 851760-45-7 CAPLUS
CN Azetidine, 1-[(2S)-amino(1S)-2,3-dihydro-5-(1-methyl-6-oxo-3-piperidinyl)-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



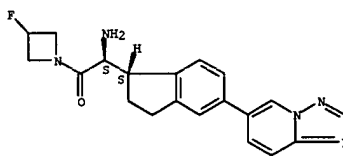
RN 851760-46-8 CAPLUS
CN Azetidine, 1-[(2S)-amino(1S)-5-(1,2-dihydro-1-methyl-2-oxo-5-pyrimidinyl)-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



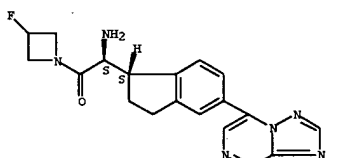
RN 851760-41-3 CAPLUS
CN Azetidine, 1-[(2S)-amino(1S)-2,3-dihydro-5-([1,2,4]triazolo[1,5-a]pyridin-6-yl)-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-42-4 CAPLUS
CN Azetidine, 1-[(2S)-amino(1S)-2,3-dihydro-5-([1,2,4]triazolo[1,5-a]pyrazin-5-yl)-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

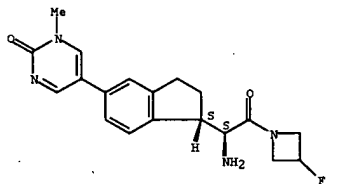
Absolute stereochemistry.



RN 851760-43-5 CAPLUS
CN Azetidine, 1-[(2S)-amino(1S)-2,3-dihydro-5-(2-(trifluoromethyl)[1,2,4]triazolo[1,5-a]pyrazin-5-yl)-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

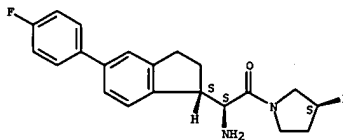
L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



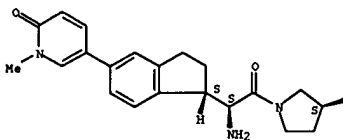
RN 851760-47-9 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino(1S)-5-(4-fluorophenyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-48-0 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino(1S)-5-(1,6-dihydro-1-methyl-6-oxo-3-pyridinyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

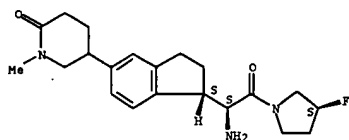
Absolute stereochemistry.



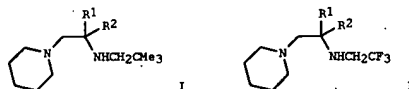
RN 851760-49-1 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino(1S)-2,3-dihydro-5-(1-methyl-6-oxo-3-piperidinyl)-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

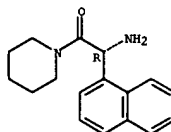


L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2001:167238 CAPLUS
 DN 134:340424
 TI Stereoselective reactions. XXXIII. Design and synthesis of chiral bidentate amines having a bulky group on the chiral carbon
 AU Toriyama, Masaharu; Tokutake, Norio; Koga, Kenji
 CS College of Pharmacy, Nihon University, Funabashi, 274-8555, Japan
 SO Chemical & Pharmaceutical Bulletin (2001), 49(3), 330-334
 CODEN: CPBTAL; ISSN: 0009-2363
 PB Pharmaceutical Society of Japan
 DT Journal
 LA English
 OS CASREACT 134:340424
 GI



AB Chiral bidentate amines I and II (R1 = 1-naphthyl, 2-naphthyl, 3,5-Me2C6H3, H; R2 = H, Me3C) were prepared
 IT 338731-64-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of chiral bidentate amines)
 RN 338731-64-9 CAPLUS
 CN Piperidine, 1-[(2R)-amino-1-naphthalenylacetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



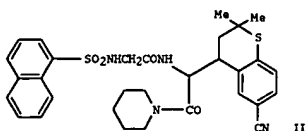
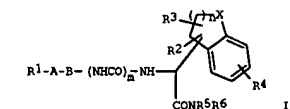
RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1997:480872 CAPLUS
 DN 127:149409
 TI Preparation of α -aryl-glycine and N-glycyl- α -aryl-glycyl derivatives having affinity to neuropeptide Y (NPY) receptor
 IN Kondo, Tasuku; Itahana, Hirotsune; Tobe, Takahiko; Togami, Junji; Tsukamoto, Shinichi
 PA Yamaguchi Pharmaceutical Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 41 pp.
 CODEN: JKKXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09157253	A	19970617	JP 1995-323172	19951212
FRA1 JP 1995-323172		19951212		
OS MARPAT 127:149409				

GI

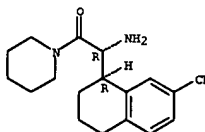


AB The title compds. [I: A = aryl, optionally benzene ring-condensed 5- or 6-membered N-containing heterocyclyl, lower alkylene; B = SO2, CO, O2C, CH2CO; wherein R7 = H, lower alkyl, aryl; X = optionally lower alkyl-substituted CH2 or NH, S, O; R1 = H, NH2, mono- or di(lower alkyl)amino; R2, R3 = H, lower alkyl; R4 = H, cyano, NO2, CONH2, C(=S)NH2, NH2, mono- or di(lower alkyl)amino, (NH)pC(=Y)NR8R9; Y = NH, S, O; wherein R8, R9 = H, lower alkyl, cycloalkyl, or NR8R9 = N-containing heterocyclyl optionally containing O; p = 0, 1; R5, R6 = H, lower alkyl, (un)substituted aralkyl or aryl; or NR5R6 = N-containing heterocyclyl optionally containing and/or benzene ring-fused; n = 0, 1-4; m = 0, 1] are prepared. They are useful for the treatment of diseases related to physiol. function of NPY receptor such as obesity, overeating (hyperphagia), sitophobia (phagophobia), epilepsy, anxiety, senile dementia, depression, Parkinson's disease, brain degeneration accompanied by head trauma, various body symptoms caused by stress, hypertension, hypotension, heart failure, angina pectoris, myocardial infarction, coronary diseases, syndrome X, kidney diseases, asthma, diarrhea, and hormone abnormality, or as immunomodulators, etc. (no data). Thus, (2RS,4'RS)-1-[2-(6'-cyano-2',2'-dimethyl-3',4'-dihydro-

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

2'H-benzothiopyran-4'-yl)-N-(diphenylmethylene)glycyl]piperidine was stirred with a mixt. of concd. HCl and MeOH at room temp. for 1 h followed by workup and condensation with N-(2-naphthylsulfonyl)glycine in the presence of (PhO)2P(O)N3 in DMF to give the title compd. (II).
 IT 193404-06-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of α -aryl-glycine and N-glycyl- α -aryl-glycyl derivs. having affinity to neuropeptide Y (NPY) receptor)
 RN 193404-06-7 CAPLUS
 CN Piperidine, 1-[amino(7-cyano-1,2,3,4-tetrahydro-1-naphthalenyl)acetyl]-, monohydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1996:632101 CAPLUS

DN 125:301605

TI Preparation of dipeptide amidine analogs as thrombin inhibitors.
 Boehm, Hans-Joachim; Hoeffken, Hans Wolfgang; Hornberger, Wilfried; Koser, Stefan; Mack, Helmut; Pfeiffer, Thomas; Seitz, Werner; Zierke, Thomas

PA BASF A.-G., Germany

SO PCT Int. Appl., 152 pp.

CODEN: PIXXD2

DT Patent

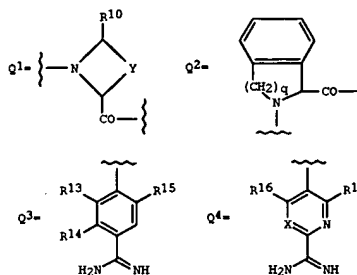
LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9625426	A1	19960822	WO 1996-EP582	19960212
W: AU, BG, BR, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, AZ, BY, KG, KZ, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2211109	A1	19960822	CA 1996-2211109	19960212
AU 9648751	A	19960904	AU 1996-48751	19960212
AU 708001	B2	19990729		
CN 1175953	A	19980311	CN 1996-191967	19960212
HU 9600263	A2	19980629	HU 1998-263	19960212
BR 9607582	A	19980707	BR 1996-7582	19960212
EP 873356	A1	19981028	EP 1996-904759	19960212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 11500120	T	19990106	JP 1996-524648	19960212
NZ 302649	A	20000128	NZ 1996-302649	19960212
HR 960075	B1	20041031	HR 1996-75	19960215
TW 450968	B	20010821	TW 1996-85102085	19960216
IN 1996A00264	A	20050304	IN 1996-WA264	19960216
ZA 9601276	A	19970919	ZA 1996-1276	19960219
US 6030972	A	20000229	US 1997-894252	19970730
FI 9703360	A	19970815	FI 1997-3360	19970815
NO 9703764	A	19971015	NO 1997-3764	19970815
BG 63697	B1	20020930	BG 1997-101835	19970815
US 6444817	B1	20020930	US 1999-414681	19991008
US 2002169318	A1	20021114	US 2002-100099	20020319
US 6900319	B2	20050301		
PRAI DE 1995-19505484	A	19950217		
DE 1995-19506611	A	19950224		
DE 1995-19507455	A	19950303		
WO 1996-EP582	W	19960212		
US 1997-894252	A3	19970730		
US 1999-414681	A3	19991008		
OS MARPAT 125:301605				
GI				

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS ON STN

(Continued)



AB ABNHCR1R2D [R1 = H, alkyl; R2 = H, alkyl, Ph, phenylalkyl, R18OCH2, R18CO, R18NHCO, etc.; R18 = H, alkyl, Ph, phenylalkyl, CF3CO, alkoxyalkyl, etc.; A = R3R4NCR5[(CH2)mR6]CO, R7O[(CH2)nR8]CO, etc.; m = 0, 1; R3, R4 = H, alkyl, arylalkyl, etc.; R5 = H, alkyl, PhCH2; R6 = (substituted) cycloalkyl, Ph, adamantyl, norbornyl, 1-decalyl, etc.; R7 = H, alkyl, alkoxyalkyl, aminocarbonyl, aminocarbonylalkyl, 5-tetrazolylmethyl, bile acid acyl residue, etc.; R8 = (substituted) Ph, cycloalkyl, 1-indanyl, dibenzosuberyl, etc.; B = Q1, Q2, R11NCR12CO, etc.; q = 1, 2; Y = CH2, CH2CH2 such that the resulting ring can have an OH, O, or alkoxy group at the 4-position, CH2S, CH2SO, CH2CH, etc.; R10 = H, alkyl, Ph; R11, R12 = H, alkyl, cycloalkyl, Ph, PhCH2; D = Q3, Q4, etc.; R13-R15 = H, NO2, F, Cl, Br, Iodo, cycloalkyl, amino, acylamino, etc.; R13R14 = (CH2)3, (CH2)4, OCH2O, CH:CHCH:CH; R16, R17 = H, F, Cl, alkyl, phenylalkyl, Ph, CO2H, alkoxyalkyl, amino, etc.; X = CH, N].

IT RL: RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of dipeptide amidine analogs as thrombin inhibitors)

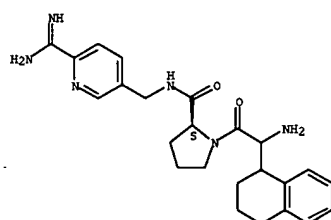
EN 182286-16-4 CAPLUS

CN L-Prolinamide, 2-((1,2,3,4-tetrahydro-1-naphthalenyl)glycyl-N-[(6-(aminomethyl)-3-pyridinyl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS ON STN

(Continued)

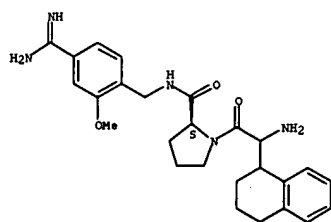


● 2 HCl

EN 182286-39-1 CAPLUS

CN L-Prolinamide, 2-((1,2,3,4-tetrahydro-1-naphthalenyl)glycyl-N-[(6-(aminomethyl)-2-methoxyphenyl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1992:572117 CAPLUS

DN 117:172117

TI Inhibitors and substrates of thrombin

IN Kakkar, Vijay Vir; Deadman, John Joseph; Claesson, Goran Karl; Cheng, Liefeng; Chino, Naoyoshi; Elgendy, Said Mohamed Anwar; Scully, Michael Finbarr

PA Thrombosis Research Institute, UK

SO PCT Int. Appl., 60 pp.

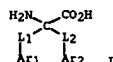
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9207869	A1	19920514	WO 1991-GB1946	19911106
W: AT, AU, BB, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, JP, KR, LK, LU, MC, MG, MW, NL, NO, PL, RO, SD, SE, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9189007	A	19920526	AU 1991-89007	19911106
AU 636521	B2	19930429		
EP 509080	A1	19921021	EP 1991-919539	19911106
EP 509080	B1	20000816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9108805	A	19930428	ZA 1991-8805	19911106
JP 05504775	T	19930722	JP 1991-518185	19911106
JP 3173786	B2	20010604		
EP 807638	A1	19971119	EP 1997-201436	19911106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
EP 955309	A1	19991110	EP 1999-200841	19911106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 195531	T	20000915	AT 1991-919539	19911106
ES 2149158	T3	20001101	ES 1991-919539	19911106
JP 2001226397	A	20010821	JP 2000-398079	19911106
JP 3453357	B2	20031006		
US 5648338	A	19970715	US 1994-317837	19941004
US 5858979	A	19990112	US 1995-459394	19950602
US 6387881	B1	20020514	US 1998-205349	19981203
GR 304839	T3	20010228	GR 2000-402527	20001113
PRAI GB 1990-24129	A	19901106		
US 1993-158046	B1	19901106		
EP 1991-919539	A3	19911106		
JP 1991-518185	A3	19911106		
WO 1991-GB1946	A	19911106		
US 1992-866178	B1	19920919		
US 1994-317837	A1	19941004		
US 1995-459394	A1	19950602		
OS MARPAT 117:172117				
GI				

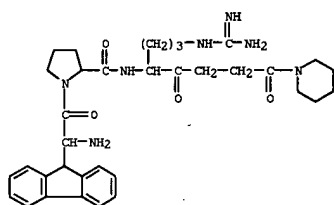


AB Peptides derived from D-Phe-Pro-Arg or its analogs in which the Phe is substituted by amino acids 1 (Ar1 and Ar2 = Ph, thienyl, pyridyl,

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 naphthyl, thionaphthyl, indolyl; L1 and L2 = CH₂, CH₂CH₂, OCH₂, SCH₂, Ar-L
 (Ar-L = H or benzyl in which one Ar-L can not be H when the other Ar-L
 means H or benzyl) were prep. as thrombin inhibitors or substrates.
 Thus, AcCH(CN)CO₂Et was alkylated with Ph₂CHBr in the presence of KO^tBu
 in tert-BuOH to give 58% Ph₂CHC(CN)(NHAc)CO₂Et, hydrolyzed in refluxing
 20% HCl to give 81.8% DL-Ph₂CH(NH₂)CO₂H (H-Dpa-OH.HCl). The latter
 was treated with PhCH₂CO₂Cl (ZC1) in 2N NaOH to give 97% Z-DL-Dpa-OH,
 which was esterified with N-hydroxysuccinimide (HONSu) by DCC in
 1,2-dimethoxyethane 91% Z-DL-Dpa-ONSu, which was coupled with proline in
 the presence of NaHCO₃ in water/1,2-dimethoxyethane to give a
 diastereomeric mixt. of Z-D-Dpa-Pro-OH and Z-L-Dpa-Pro-OH. Z-D-Dpa-Pro-OH
 was esterified with HONSu by DCC in dimethoxyethane to give the active
 ester, which was coupled with H-Arg(Mtr)-OH (Mtr = 4-methoxy-2,3,6-
 trimethylbenzenesulfonyl) in DMF to give 91% Z-D-Dpa-Pro-Arg(Mtr)-OH. The
 latter underwent the Dakin-West reaction with (MeO₂CCH₂CH₂CO)₂O in the
 presence of Et₃N, DMAP, and pyridine to give 98% Z-D-Dpa-Pro-Arg(Mtr)-k-
 Gly-OMe (k means amide bond replaced by COCH₂), which was sapon. and
 then condensed with piperidine (pip) by DCC/HONSu in dimethoxyethane to
 give 81% Z-D-Dpa-Pro-Arg(Mtr)-k-Gly-pip. The latter was Mtr-deblocked by
 CF₃CO₂H (TFA)/chloanisole and then Z-deblocked by hydrogenolysis to give
 75% H-D-Dpa-Pro-Arg(Mtr)-k-Gly-pip.TFA. H-D-Dpa-Pro-Arg(Mtr)-k-Gly-pip
 inhibited thrombin in an in vitro assay with a Ki 0.2 μM.

IT 143343-48-0P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as thrombin inhibitor)

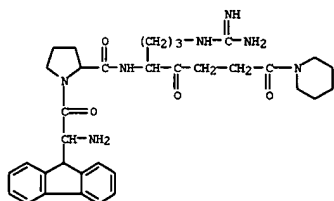
RN 143343-48-0 CAPLUS
 CN L-Prolinamide, D-2-(9H-fluoren-9-yl)glycyl-N-[1-[3-
 [(aminoininomethyl)amino]propyl]-2,5-dioxo-5-(1-piperidinyl)pentyl]-, (S)-
 (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 [(aminoininomethyl)amino]propyl]-2,5-dioxo-5-(1-piperidinyl)pentyl]-,
 (R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 143217-80-5
 CMF C34 H45 N7 O4



CM 2

CRN 76-05-1
 CMF C2 H F3 O2

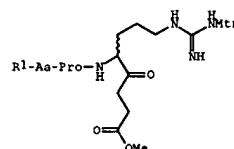


RN 143343-47-9 CAPLUS
 CN L-Prolinamide, D-2-(9H-fluoren-9-yl)glycyl-N-[1-[3-
 [(aminoininomethyl)amino]propyl]-2,5-dioxo-5-(1-piperidinyl)pentyl]-,
 (R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 143343-46-8
 CMF C34 H45 N7 O4

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1992:572008 CAPLUS
 DN 117:172008
 TI Synthesis and biological activity of ketomethylene pseudopeptide analogs
 as thrombin inhibitors
 AU Cheng, Leifeng; Goodwin, Christopher A.; Schully, Michael F.; Kakkar,
 Vijay V.; Claesson, Goran
 CS Thromb. Res. Inst., London, SW3 6LR, UK
 SO Journal of Medicinal Chemistry (1992), 35(18), 3364-9
 CODEN: JMCHAR; ISSN: 0022-2623
 DT Journal
 LA English
 OS CASREACT 117:172008
 GI

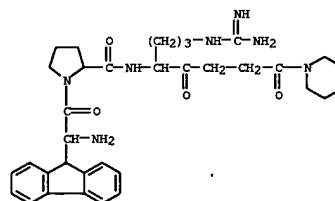


AB Ketomethylene pseudopeptide analogs Aa-Pro-Argw(COCH₂)Gly-pip [I; Aa =
 D-Dpa (Dpa = β,β-diphenylalanine), L-Dpa, DL-Dpa, DL-αNal
 (αNal = α-naphthylalanine) DL-βNal, D-βNal, DL-Fgl
 (Fgl = fluorenylglycine); pip = piperidine] with highly lipophilic side
 chains and w(COCH₂) is a ketomethylene pseudopeptide bond, have been
 synthesized through a modified Dakin-West reaction of R1-Aa-Pro-Arg(Mtr)-
 OH (R1 = Me₃CO₂C, PhCH₂CO₂C; Mtr = 4-methoxy-2,3,6-trimethylphenylsulfonyl)
 with anhydride (MeO₂CCH₂CH₂CO)₂O under very mild conditions to give
 protected ketomethylene pseudopeptides II. II were condensed with
 piperidine and then deblocked to give the appropriate I. Their enzymic
 assay of thrombin inhibition has been carried out. The structure-activity
 relationship study indicated that a lipophilic side chain on the amino
 acid in the P3 position is very important for binding to the apolar site
 of thrombin. I (X = D-Dpa) has a Ki of 0.2 μM and it doubles thrombin
 clotting time at only 3 times higher concentration. These values are about 7
 times better than those of the corresponding D-Phe analogs. Furthermore,
 I (X = D-Dpa) shows poor inhibitory activity against plasmin, Factor Xa,
 urokinase, and kallikrein. Preliminary in vivo testing (3-4-kg rabbit as
 the animal model) shows no observable side effect (change of blood
 pressure and accumulation of blood platelet in lungs) at a dose of 1
 mg/kg.

IT 143217-81-6P 143343-47-9P 143343-49-1P
 143343-51-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and thrombin-inhibiting activity of)

RN 143217-81-6 CAPLUS
 CN L-Prolinamide, L-2-(9H-fluoren-9-yl)glycyl-N-[1-[3-
 [(aminoininomethyl)amino]propyl]-2,5-dioxo-5-(1-piperidinyl)pentyl]-,
 (S)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

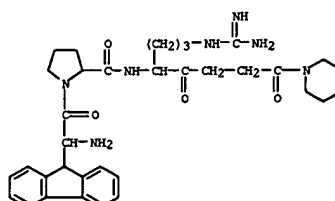
CRN 76-05-1
 CMF C2 H F3 O2



RN 143343-49-1 CAPLUS
 CN L-Prolinamide, D-2-(9H-fluoren-9-yl)glycyl-N-[1-[3-
 [(aminoininomethyl)amino]propyl]-2,5-dioxo-5-(1-piperidinyl)pentyl]-,
 (S)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 143343-48-0
 CMF C34 H45 N7 O4



CM 2

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

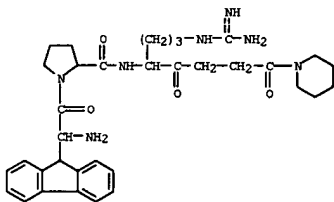
CRN 76-05-1
 CMF C2 H F3 O2



RN 143343-51-5 CAPLUS
 CN L-Prolinamide, L-2-(9H-fluoren-9-yl)glycyl-N-[1-[3-
 [(aminomimomethyl)amino]propyl]-2,5-dioxo-5-(1-piperidinyl)pentyl]-,
 (S)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 143343-50-4
 CMF C34 H45 N7 O4



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



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L5	99	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	("ASHTON WALLACE"/AU OR "ASHTON WALLACE T"/AU)
L6	64	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	"DONG HONG"/AU
L7	31	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	"XU JINYOU"/AU
L8	185	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	L5 OR L6 OR L7
L9	10	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	L8 AND PHENYLALANINE

=> d 1-10 bib abs

L9 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2006:193335 CAPLUS
 DN 144:254133
 TI Fused triazole derivatives as dipeptidyl peptidase-IV inhibitors, their preparation, pharmaceutical compositions and use for the treatment or prevention of diabetes
 IN Weber, Ann E.; Ashton, Wallace T.
 FA Merck & Co., Inc., USA
 SO PCT Int. Appl., 91 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006023750	A2	20060302	WO 2005-US29591	20050819
WO 2006023750	A3	20060727		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HD, HE, HF, HG, HH, HI, IL, IN, IS, JP, KE, KG, KH, KI, KM, KN, KR, KZ, LC, LG, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, NG, XZ, MD, RU, TJ, TM				

PRAI US 2004-603272 P 20040823
 OS MARPAT 144:254133
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to fused triazole derivs. I, which are inhibitors of dipeptidyl peptidase-IV (DPP-IV). In compds. I, the bonds between D and E, and L and M are single or double bonds; Ar is Ph, substituted with one to five substituents, independently selected from OH, halo, cyano, (un)substituted C1-6 alkyl, and (un)substituted C1-6 alkoxy; A is a bond, CH₂, O, or S; D and E together are CH₂CH₂ when A is CH₂, O, or S, but are selected from CH₂CH₂ and CH=CH when A is a bond; and L and M together form an optionally substituted fused ring selected from triazole, pyrazole, imidazole, benzo, pyrimidine, and pyrazine, including pharmaceutically acceptable salts thereof. The invention also relates to the preparation of

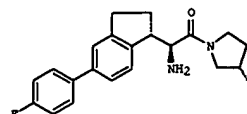
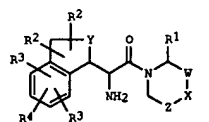
I, pharmaceutical compns. comprising a compound I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment or prevention of diseases in which DPP-IV is involved, such as diabetes, particularly type 2 diabetes. Deprotection of optically active pyrazine II followed by stereoselective alkylation with 2,4,5-trifluorobenzyl bromide, acid hydrolysis, and N-protection gave (R)-amino acid ester III, which underwent homologation by hydrolysis, anhydride formation, addition of diazomethane, and oxidation to form carboxylic acid IV. Coupling of IV with

L9 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 5-hydrazino[1,2,4]triazolo[1,5-c]pyrimidine (V; one-step prepa. from 5-chloro[1,2,4]triazolo[1,5-c]pyrimidine given) followed by cyclization/deprotection with acetic acid and deacetylation resulted in the formation of fused triazole VI. The compds. of the invention are inhibitors of DPP-IV (no data).

L9 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2005:426411 CAPLUS
 DN 142:464013
 TI Preparation of fused phenylalanine derivatives as dipeptidyl peptidase-IV inhibitors for the treatment or prevention of diabetes
 IN Ashton, Wallace T.; Dong, Hong; Xu, Jinyou
 FA Merck & Co., Inc., USA
 SO PCT Int. Appl., 60 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005044195	A2	20050519	WO 2004-US36252	20041029
WO 2005044195	A3	20051215		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HD, HE, HF, HG, HH, HI, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LG, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004286857	A1	20050519	AU 2004-286857	20041029
CA 2541212	A1	20050519	CA 2004-2541212	20041029
EP 1682120	A2	20060726	EP 2004-810181	20041029
CN 1870990	A	20061129	CN 2004-80031602	20041029
US 2006281727	A1	20061214	US 2006-573108	20060323
PRAI US 2003-517287P	P	20031104		
WO 2004-US36252	W	20041029		

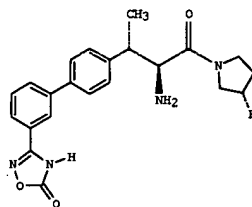
OS MARPAT 142:464013
 GI



AB The invention relates to fused phenylalanine derivs. I (X = CH₂,

L9 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 AN 2005:191539 CAPLUS
 TI Discovery of potent, selective and orally bioavailable phenylalanine based dipeptidyl peptidase IV inhibitors
 AU Xu, Jinyou; Wei, Lan; Mathvink, Robert; He, Jiafang; Park, You Jung; He, Huaibing; Leiting, Barbara; Lyons, Kathryn A.; Marsilio, Frank; Patel, Reshma A.; Wu, Joseph K.; Thornberry, Nancy A.; Weber, Ann E.
 CS Department of Medicinal Chemistry, Merck & Co., Inc., Rahway, NJ, 07065, USA
 SO Bioorganic & Medicinal Chemistry Letters (2005), 15(10), 2533-2536
 CODEN: BMCL66, ISSN: 0960-894X
 PB Elsevier B.V.
 DT Journal
 LA English
 OS CASREACT 143:37912
 GI

L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2005:378881 CAPLUS
 DN 143:37912
 TI Discovery of potent and selective phenylalanine based dipeptidyl peptidase IV inhibitors
 AU Xu, Jinyou; Wei, Lan; Mathvink, Robert; He, Jiafang; Park, You Jung; He, Huaibing; Leiting, Barbara; Lyons, Kathryn A.; Marsilio, Frank; Patel, Reshma A.; Wu, Joseph K.; Thornberry, Nancy A.; Weber, Ann E.
 CS Department of Medicinal Chemistry, Merck & Co., Inc., Rahway, NJ, 07065, USA
 SO Bioorganic & Medicinal Chemistry Letters (2005), 15(10), 2533-2536
 CODEN: BMCL66, ISSN: 0960-894X
 PB Elsevier B.V.
 DT Journal
 LA English
 OS CASREACT 143:37912
 GI



I

AB Anti-Substituted β -methylphenylalanine derived amides have been shown to be potent DPP-IV inhibitors exhibiting excellent selectivity over both DPP8 and DPP9. These are among the most potent compounds reported to date lacking an electrophilic trap. The most potent compound among these is 5-oxo-1,2,4-oxadiazole 1, which is a 3 nM DPP-IV inhibitor.
 RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2005:191539 CAPLUS
 TI Discovery of potent, selective and orally bioavailable phenylalanine based dipeptidyl peptidase IV inhibitors
 AU Xu, Jinyou; Wei, Lan; Mathvink, Robert; He, Jiafang; Park, You Jung; He, Huaibing; Leiting, Barbara; Lyons, Kathryn A.; Marsilio, Frank; Patel, Reshma A.; Wu, Joseph K.; Thornberry, Nancy A.; Weber, Ann E.
 CS Department of Medicinal Chemistry, Merck & Co., Inc., Rahway, NJ, 07065, USA
 SO Abstracts of Papers, 229th ACS National Meeting, San Diego, CA, United States, March 13-17, 2005 (2005), MEDI-206 Publisher: American Chemical Society, Washington, D. C.
 CODEN: 69GQMP
 DT Conference Meeting Abstract
 LA English
 AB The gut hormones glucagon-like peptide-1 (GLP-1) and glucose dependent insulinotropic polypeptide (GIP) are both incretin hormones that are released from the gut during meals, and serve as enhancers of glucose stimulated insulin release from the beta cells. GLP-1 has been proposed as a new treatment of type 2 diabetes. However, GLP-1 and GIP are rapidly degraded in plasma by the serine protease dipeptidyl peptidase IV (DPP-IV). Inhibition of DPP-IV increases the levels of endogenous intact circulating GLP-1 and GIP. Therefore, inhibition of DPP-IV is rapidly emerging as a novel therapeutic approach to the treatment of type 2 diabetes. Herein, we would like to report the synthesis and biological activity of a novel series of phenylalanine based DPP-IV inhibitors. Optimized compounds exhibited excellent selectivity and good pharmacokinetic profiles.

L9 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2004:681507 CAPLUS
 DN 141:207234
 TI 3-Amino-4-phenylbutanoic acid derivatives as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes
 IN Ashton, Wallace T.; Caldwell, Charles G.; Duffy, Joseph L.; Mathvink, Robert J.; Wang, Liping; Weber, Ann E.
 PA Merck & Co., Inc., USA
 SO PCT Int. Appl., 121 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004069162	A2	20040819	WO 2004-US2309	20040127
WO 2004069162	A3	20050519		
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RW:	BW, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004210149	A1	20040819	AU 2004-210149	20040127
CA 2513684	A1	20040819	CA 2004-2513684	20040127
EP 1592689	A2	20051109	EP 2004-705717	20040127
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, KR, HU, SK			
JP 2006516573	T	20060706	JP 2006-501124	20040127
US 2006074087	A1	20060406	US 2006-542694	20050719
PRAI US 2003-444145P	P	20030131		
WO 2004-US2309	W	20040127		
OS HARPAT 141:207234				
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compounds 1 (wherein W, X, Y, Z = independently N, CH and derivs.; with the proviso that at least one of W, X, Y, and Z = CH and derivs.; and when W = Y = N, then one of X and Z = N; Ar = (un)substituted phenyl; R7, R8, R9 = independently H, CN, (CH2)nCO2H, (un)substituted alkyl, (CH2)n-hetero/aryl, (CH2)n-heterocyclyl, etc.; n = 0-2; and their pharmaceutically acceptable salts) were prepared as inhibitors of the dipeptidyl peptidase-IV (DPP-IV) enzyme for treating diabetes, in particular type 2 diabetes. For example, II-TFA was prepared, in 4 steps, from acid III, 7-nitro-1,2,3,4-tetrahydroisoquinoline, benzenesulfonyl chloride and TFA. 1 displayed IC50 values < 1 μ M for the inhibition of DPP-IV. Thus, 1 are useful in the prevention or treatment of diseases in which the dipeptidyl peptidase-IV enzyme is involved, such as type 2 diabetes, obesity, hyperglycemia, and other lipid disorders (no data).

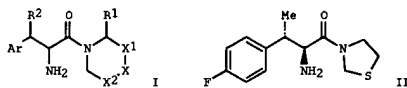
L9 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2004:490700 CAPLUS
 DN 141:54612
 TI Preparation of phenylalanine derivatives as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes
 IN Duffy, Joseph L.; Mathvink, Robert J.; Weber, Ann E.; Xu, Jinyou
 FA Merck & Co., Inc., USA
 SO PCT Int. Appl., 76 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004050022	A2	20040617	WO 2003-US37825	20031126
WO 2004050022	A3	20040805		
WO 2004050022	B1	20040930		
WO 2004050022	A8	20041216		

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 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2508487 A1 20040617 CA 2003-2508487 20031126
 AU 2003297564 A1 20040623 AU 2003-297564 20031126
 EP 1578414 A2 20050928 EP 2003-812453 20031126

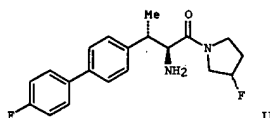
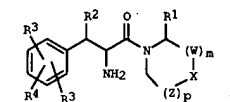
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 JP 2006510630 T 20060330 JP 2004-557341 20031126
 US 2006111336 A1 20060525 US 2005-537476 20050603
 PRAI US 2002-430836P P 20021204
 WO 2003-US37825 W 20031126
 OS MARPAT 141:54612
 GI



AB The invention relates to phenylalanine derivs. I [X = CH2, S, CHF or CF2; X1, X2 = null or CH2; Ar = (un)substituted phenyl; R1 = H or cyano; R2 = (un)substituted alk(en)yl, (CH2)n-aryl, -heteroaryl, -heterocyclyl, -cycloalkyl, -CO2H or alkyl ester or amides (n = 0-2)] or their pharmaceutically-acceptable salts which are inhibitors of the

L9 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 dipeptidyl peptidase-IV (DP-IV) enzyme and which are useful in the treatment or prevention of diseases such as diabetes. Thus, II.TFA was prepd. via amidation of (S)-N-(tert-butoxycarbonyl)-4-fluoro-β-methyl-L-phenylalanine (prepn. given) with thiazolidine.

L9 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB The invention relates to phenylalanine derivs. I [n is 0-2; m, p are 0 or 1; X is CH2, S, CHF or CF2; W, Z are CH2, CHF or CF2; R1 is H or cyano; R2 is H, alk(en)yl, -(CH2)nR5, where R5 is CO2H, carbalkoxy, an amino group, (un)substituted (hetero)aryl, heterocyclyl or cycloalkyl; R3 is H, halo, alkyl, alkoxy, cyano, trifluoromethyl, trifluoromethoxy or hydroxy; R4 is (un)substituted (hetero)aryl or heterocyclyl] or their pharmaceutically-acceptable salts, which are inhibitors of the dipeptidyl peptidase-IV (DP-IV) enzyme for use in pharmaceutical compns. for the treatment or prevention of diseases in which DP-IV is involved, e.g., type 2 diabetes. Thus, compound II TFA salt was prepared by amidation of (2S,3S)-2-azido-3-(4-bromophenyl)butanoic acid (preparation given) with (3S)-3-fluoropyrrolidine hydrochloride, coupling with 4-fluorophenylboronic acid, and deprotection.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2004:430789 CAPLUS
 DN 141:7438
 TI Preparation of phenylalanine derivatives as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes
 IN Colandrea, Vincent J.; Edmondson, Scott D.; Mathvink, Robert J.; Mastracchio, Anthony; Weber, Ann E.; Xu, Jinyou
 FA Merck & Co., Inc., USA
 SO PCT Int. Appl., 124 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004043940	A1	20040527	WO 2003-US34924	20031103

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2504735 A1 20040527 CA 2003-2504735 20031103
 AU 2003290577 A1 20040603 AU 2003-290577 20031103
 EP 1562925 A1 20050817 EP 2003-783112 20031103
 EP 1562925 B1 20070103

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 BR 2003015796 A 20050913 BR 2003-15796 20031103
 CN 1735605 A 20060215 CN 2003-80108228 20031103
 JP 2006059839 T 20060323 JP 2005-507072 20031103
 AT 350374 T 20070115 AT 2003-783112 20031103
 US 2005222140 A1 20051006 US 2003-481352 20031219
 W 7157490 B2 20070102
 NO 2005002690 A 20050722 20050606
 PRAI US 2002-424483P P 20021107
 US 2003-501232P P 20030619
 WO 2003-US34924 W 20031103
 OS MARPAT 141:7438
 GI

L9 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:5711 CAPLUS
DN 138:73536

TI Preparation of peptides as dipeptidyl peptidase inhibitors for the
treatment of diabetes

IN Edmondson, Scott D.; Parmee, Emma; Weber, Ann E.; Xu, Jinyou

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 95 pp.

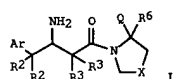
CODEN: PIXXD2

DT Patent

LA English

FAM.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003000180	A2	20030103	WO 2002-US19432	20020619
WO 2003000180	A3	20040129		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2450579	A1	20030103	CA 2002-2450579	20020619
EP 1406872	A2	20040414	EP 2002-744447	20020619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004535433	T	20041125	JP 2003-506626	20020619
US 2004176428	A1	20040909	US 2003-481319	20031218
US 7098239	B2	20060829		
PRAI US 2001-299464P	P	20010620		
WO 2002-US19432	W	20020619		
OS MARPAT 138:73536				
GI				



AB Comps. I [X is CR10R11, S, SO, SO2, or CR9R10, where R9 is a carbamoyl group, R10, R11 are H, F, alkyl, haloalkyl, with the proviso that when X is CR9R10, Q and R8 are both H; Ar is (un)substituted Ph, naphthyl, thienyl, or benzothienophenyl; R2 is H, OH, halo, alkyl, haloalkyl or R22C (halo)cycloalkyl; R3 is any group given for R2 except OH; Q is H, a carbamoyl group, or CN; R8 is H, alkyl, or haloalkyl] or their pharmaceutically-acceptable salts and prodrugs were prepared as inhibitors of the dipeptidyl peptidase-IV enzyme (DP-IV) for treatment of DP-IV mediated diseases and conditions, such as non-insulin dependent diabetes mellitus. Thus, 1-[(3R)-3-amino-4-phenylbutanoyl]-N-(5-chloro-2-

L9 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1991:559805 CAPLUS

DN 115:159805

TI Preparation of N-carboxyalkyl dipeptides as antivirals

IN Tolman, Richard L.; Ashton, Wallace T.; Wu, Mu Tsu

PA Merck and Co., Inc., USA

SO Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DT Patent

LA English

FAM.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 412595	A1	19910213	EP 1990-202029	19900725
R: CH, DE, FR, GB, IT, LI, NL				
US 5110799	A	19920505	US 1989-386071	19890728
CA 2021944	A1	19910129	CA 1990-2021944	19900725
JP 03148295	A	19910625	JP 1990-198079	19900727
PRAI US 1989-386071	A	19890728		
OS MARPAT 115:159805				

AB R4O2CCR2R3(A)nNR6CHIR5 [R1 = H, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, Ph, alkyl, etc.; R2, R3 = H, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, C1-6 alkyl, etc.; R4 = H, cycloalkyl, alkyl, etc.; R5 = CO2R4, CH2CO2R4, PO3R4, etc.; R6 = H, Me; or R1R6 C2-4 alkylene; n = 0, 1; A = His, Asp, etc.] useful as antivirals (no data) and especially useful for treatment of herpes infection (no data), were prepared Stirring a mixture of BOC-His(Dnp)-OH (Dnp = 2,4-dinitrophenyl) with H-Leu-O-bzl (bzl = benzyl), DCC, and HOBt at ambient temperature for 41 h followed by sequential treatment with CF3CO2H and thiophenol gave Na-carboxymethylhistidylleucine.

L9 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
hydroxybenzyl)-L-prolinamide was prepd. by amidation of Boc-Pro-OH (Boc = tert-butoxycarbonyl) with 5-chloro-2-hydroxybenzylamine, deprotection, and coupling with N-Boc-(R)-P-phenylalanine. Comps. of the invention generally have DP-IV inhibition consts. of < 10 μ M.

=> d his full

(FILE 'HOME' ENTERED AT 15:22:31 ON 26 MAR 2007)

FILE 'REGISTRY' ENTERED AT 15:22:43 ON 26 MAR 2007

L1 STRUCTURE UPLOADED
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L2 1 SEA SSS SAM L1
 D SCAN

L3 45 SEA SSS FUL L1

FILE 'CAPLUS' ENTERED AT 15:23:36 ON 26 MAR 2007

L4 6 SEA ABB=ON PLU=ON L3
 D QUE L4 STAT

 D 1-6 BIB ABS HITSTR
 E ASHTON WALLACE/AU

L5 99 SEA ABB=ON PLU=ON ("ASHTON WALLACE"/AU OR "ASHTON WALLACE
 T"/AU)

 E DONG HONG/AU

L6 64 SEA ABB=ON PLU=ON "DONG HONG"/AU
 E XU JINYOU/AU

L7 31 SEA ABB=ON PLU=ON "XU JINYOU"/AU

L8 185 SEA ABB=ON PLU=ON L5 OR L6 OR L7

L9 10 SEA ABB=ON PLU=ON L8 AND PHENYLALANINE
 D QUE L9 STAT
 D 1-10 BIB ABS

FILE HOME

FILE REGISTRY

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DICTIONARY FILE UPDATES: 25 MAR 2007 HIGHEST RN 928121-90-8

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<http://www.cas.org/infopolicy.html>

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TOTAL

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SESSION

FULL ESTIMATED COST

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245.10

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

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